

Attorney Docket No. 9286.7
 Application Serial No.: 10/019,902
 Filed: July 2, 2002

IN THE CLAIMS

Please amend the claims as follows. The following listing of claims replaces all prior versions.

- I. (currently amended) A compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is $A^1-(A^2-A^3)_k-sp$, wherein

A^1 is $(CH_2)_tY(CH_2)_u$, wherein

Y is $>C=O$, $>NH$, $-O-$, $-S-$ or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A^2-A^3) can be any A^2 and any A^3 in any combination,

A^2 is $-NHCO-[I,II]$ or $-CONH-$, $-OCONH$ or $SCONH$, or $-CO-$,

A^3 is $(CH_2)_r$, $O(CH_2)_r$, $NH(CH_2)_r$ or $S(CH_2)_r$ or $-(CH_2)_r$, wherein

r is an integer from 1 to 6 and

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and

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(4) the molar mass of the fragment $X(K)_m$ is less than 20,000.

2. (previously presented) A compound according to claim 1, wherein the molar mass of the fragment $X(K)_m$ is less than 4,000.

3. (previously presented) A compound according to claim 1, wherein

m is an integer from 2 to 4, and

X is CH_{4-m} , NH_{3-m} , N^+H_{4-m} , $>P-$ (when $m = 3$), $>P^+<$ (when $m = 4$), $>B-$ (when $m = 3$), a linear atom group C_2H_{6-m} , $>CH(CH_2)_2CH<$, $>C=C<$, $>N-N<$, $>N(CH_2)_zN<$ wherein $z = 2 - 6$, when $m = 4$, a carbocyclic atom group C_6H_{6-m} , C_6H_{12-m} , or a heterocyclic atom group C_3N_3 (when $m = 3$), C_4N_2 (when $m = 4$).

4. (previously presented) A compound according to claim 1, wherein there are at least 3 K.

5. (previously presented) A compound according to claim 1, wherein at least two R are not hydrogen.

6. (previously presented) A compound according to claim 1, wherein at least three R are not hydrogen.

7. (canceled)

8. (previously presented) A compound according to claim 1, wherein the ligand R is sialic acid, sialyl lactose, sialyl lactosamine, lactose, mannose, $Gal\alpha 1-3Gal$, $Gal1\alpha-3(Fuca1-2)Gal$, $GalNAc\alpha 1-3(Fuca1-2)Gal$, $Neu5Ac\alpha 2-6GalNAc$, $SiaLe^A$, $SiaLe^X$, HSO_3Le^A , HSO_3Le^X , $Gal\alpha 1-3Gal\beta 1-4GlcNAc$, $Gal\alpha 1-3Gal\beta 1-4Glc$, $HSO_3GlcA\beta 1-3Gal\beta 1-4GlcNAc$, N-acetyl-lactosamine or polylactosamine, or wherein the ligand R is sialic acid benzyl glycoside,

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HSO₃GlcAβ1-3Gal, HSO₃GlcAβ1-3Galβ1-4GlcNAcβ1-3Galβ1-4Glc, GalNAcα, GalNAcα1-3(Fucα1-2)Galβ1-4GlcNAc, Galα1-3(Fucα1-2)Galβ1-4GlcNAc, HSO₃(Sia)Le^X, HSO₃(Sia)Le^A, Le^Y, GlcNAcβ1-6(GlcNAcβ1-3)Galβ1-4Glc, GalNAcβ1-4(Neu5Acα2-3)Galβ1-4Glc, mannose-6-phosphate, GalNAcβ1-4GlcNAc, oligo-sialic acid, N-glycolylneuraminic acid, Galα1-4Galβ1-4Glc, or Galα1-4Galβ1-4GlcNAc.

9. (previously presented) A compound according to claim 1, wherein
- m is an integer from 2 to 4,
 - X is CH_{4-m},
 - A¹ is CH₂,
 - A² is NHCO,
 - A³ is CH₂,
 - k is 8,
 - sp is (CH₂)₃CONHCH₂CONHC₆H₄-4-CH₂O- and
 - R is Neu5Acα2-6Galβ1-4GlcNAc.

10. (currently amended) An aggregate of the general formula (II):



wherein X(B)_m may be identical or different and denote a compound of the general formula (I),



wherein

- X is an m-valent unit and
- B are identical or different and denote K-R, wherein
 - K is a bond or is A¹-(A²-A³)_k-sp, wherein
 - A¹ is (CH₂)_tY(CH₂)_u, wherein
 - Y is >C=O, >NH, -O-, -S- or a bond.
 - t is an integer from 0 to 6 and
 - u is an integer from 0 to 6,
 - (A²-A³) can be any A² and any A³ in any combination,

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A^2 is $\text{NHCO}-[\text{I},\text{J}]$ or $\text{CONH}-$, $\text{OCONH}-$ or $\text{SCONH}-$, or $\text{CO}-$,

A^3 is $(\text{CH}_2)_r$, $\text{O}(\text{CH}_2)_r$, $\text{NH}(\text{CH}_2)_r$, or $\text{S}(\text{CH}_2)_r$, or $(\text{CHQ})-$, wherein

$r = 1$, is an integer from 1 to 6 and

Q is a substituted or unsubstituted alkyl or aryl group,

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X , B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment $X(K)_m$ is less than 20,000, and n is from 2 to 100,000,

and wherein $X(B)_m$ are non-covalently bonded.

11. (previously presented) An aggregate according to claim 10 having a leaf-like, linear, cyclic, polycyclic, polyhedral, spherical or dendritic structure.

12. (currently amended) An aggregate according to claim 10 of two or more different compounds comprising a compound of the general formula (I)



wherein

X is an m -valent unit and

B are identical or different and denote $K-R$, wherein

K is a bond or is $A^1-(A^2-A^3)_k-sp$, wherein

A^1 is $(\text{CH}_2)_l Y(\text{CH}_2)_u$, wherein

Y is $>\text{C}=\text{O}$, $>\text{NH}$, $-\text{O}-$, $-\text{S}-$ or a bond,

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t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A²-A³) can be any A² and any A³ in any combination,

A² is -NHCO-~~[[,]]~~ or -CONH-, ~~OCONH~~ or ~~SCONH~~, or ~~CO~~,

A³ is (CH₂)_t, O(CH₂)_t, NH(CH₂)_t, or S(CH₂)_t, or ~~(CHQ)~~, wherein

r ~~= 1, is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

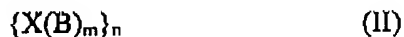
with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment X(K)_m is less than 20,000.

13. (canceled)

14. (previously presented) A method according to claim 27, further comprising adding a concentrated salt solution, changing the pH or the temperature, or adding organic solvents.

15. (currently amended) A method for changing the structure of an aggregate of the general formula (II)



wherein X(B)_m may be identical or different and denote a compound of the general formula (I),



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wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is $A^1-(A^2-A^3)_k$ -sp, wherein

A^1 is $(CH_2)_tY(CH_2)_u$, wherein

Y is $>C=O$, $>NH$, $-O-$, $-S-$ or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A^2-A^3) can be any A^2 and any A^3 in any combination,

A^2 is $-NHCO-[I,II]$ or $-CONH-$, ~~$-OCONH-$ or $-SCONH-$~~ , or $-CO-$,

A^3 is $(CH_2)_r$, $O(CH_2)_r$, $NH(CH_2)_r$, or $S(CH_2)_r$, or ~~$(CHO)-$~~ , wherein

r ~~= 1, is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
 - (2) there are at least two K that are not a bond, and
 - (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
 - (4) the molar mass of the fragment $X(K)_m$ is less than 20,000, and
- n is from 2 to 100,000,

and wherein $X(B)_n$ are non-covalently bonded,

further comprising adding a concentrated salt solution, changing the temperature or the pH and/or adding urea, trifluoroethanol or peptides.

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16. (previously presented) A method according to claim 27 further comprising increasing the specific physiological activities of molecules by incorporating a radical R into a compound of the general formula (I).

17. (canceled)

18. (currently amended) A method of treating diseases arising from inflammation, viral and bacterial infections, influenza viruses, selectin-mediated inflammatory processes, tumour metastases, or in the neutralisation of antibodies in autoimmune disorders and transplants; said method comprising administering a compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is $A^1-(A^2-A^3)_k-sp$, wherein

A^1 is $(CH_2)_tY(CH_2)_u$, wherein

Y is $>C=O$, $>NH$, $-O-$, $-S-$ or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A^2-A^3) can be any A^2 and any A^3 in any combination,

A^2 is $-NHCO-[(,)]$ or $-CONH-$, $-OCONH-$ or $-SCONH-$, or $-CO-$,

A^3 is $(CH_2)_r$, $O(CH_2)_r$, $NH(CH_2)_r$, or $S(CH_2)_r$ or $-(CHQ)-$, wherein

$r = 1$, is an integer from 1 to 6 and

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

(1) in the compound at least one R is not hydrogen,

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- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment $X(K)_m$ is less than 20,000; or administering into an aggregate of the general formula (II)



wherein

$X(B)_m$ may be identical or different and denote a compound of the general formula (I), and

n is from 2 to 100,000,

and wherein $X(B)_m$ are non-covalently bonded.

19. (canceled)

20. (previously presented) A method according to claim 18 further comprising preparing functionalized molecular surfaces.

21. (canceled)

22. (canceled)

23. (currently amended) A compound of the general formula (I),



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is $A^1-(A^2-A^3)_k$ -sp, wherein

A^1 is $(CH_2)_tY(CH_2)_u$, wherein

Y is $>C=O$, $>NH$, $-O-$, $S-$ or a bond,

t is an integer from 0 to 6 and

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u is an integer from 0 to 6,
 $(\Lambda^2-\Lambda^3)$ can be any Λ^2 and any Λ^3 in any combination,
 Λ^2 is $-\text{NHCO}-[\text{I},\text{I}]$ or $-\text{CONH}-$, ~~$-\text{OCONH}-$ or $-\text{SCONH}-$ or $-\text{CO}-$~~ ,
 Λ^3 is $(\text{CH}_2)_r$, $\text{O}(\text{CH}_2)_r$, ~~$\text{NH}(\text{CH}_2)_r$ or $\text{S}(\text{CH}_2)_r$ or $-(\text{CHQ})-$~~ , wherein
 $r = 1$, ~~is an integer from 1 to 6 and~~
~~Q is a substituted or unsubstituted alkyl or aryl group,~~
 sp is a divalent spacer or a bond, and
 k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and
 m is at least 2,
 with the proviso that

- (1) X, B and m are so selected that an intermolecular association of the K in liquid phase is possible, especially under aqueous conditions, by the formation of hydrogen bonds, with formation of aggregates, and
- (2) the molar mass of the fragment $\text{X}(\text{K})_m$ is less than 20,000, especially less than 4000.

24-26. (canceled)

27. (currently amended) A method of preparing an aggregate comprising:
 preparing a compound of the general formula (II)



wherein

$\text{X}(\text{B})_m$ may be identical or different and denote a compound of the general formula (I),



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is $\Lambda^1-(\Lambda^2-\Lambda^3)_k\text{-sp}$, wherein

Λ^1 is $(\text{CH}_2)_l\text{Y}(\text{CH}_2)_u$, wherein

Y is $>\text{C}=\text{O}$, $>\text{NH}$, $-\text{O}-$, $-\text{S}-$ or a bond,

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t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A²-A³) can be any A² and any A³ in any combination,

A² is -NHCO-[~~l~~]~~]~~ or -CONH-, ~~OCONH- or SCONH-, or CO-~~,

A³ is (CH₂)_r, O(CH₂)_r, NH(CH₂)_r or S(CH₂)_r or ~~(CHQ)-~~, wherein

r ~~= 1, is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
 - (2) there are at least two K that are not a bond, and
 - (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
 - (4) the molar mass of the fragment X(K)_m is less than 20,000, and
- n is from 2 to 100,000,
 and wherein X(B)_m are non-covalently bonded.

28. (currently amended) A method of preparing a therapeutic drug comprising:
 preparing the compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is A¹-(A²-A³)_k-sp, wherein

A¹ is (CH₂)_lY(CH₂)_u, wherein

Y is >C=O, >NH, -O-, -S- or a bond,

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t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A²-A³) can be any A² and any A³ in any combination,

A² is -NHCO-[(,)] or -CONH-, ~~OCONH- or SCONH- or CO-~~,

A³ is (CH₂)_r, O(CH₂)_r, ~~NH(CH₂)_r or S(CH₂)_r or (CHQ)-~~, wherein

r = 1, ~~is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment X(K)_m is less than 20,000; or

preparing the compound of the general formula (II):



wherein

X(B)_m may be identical or different and denote a compound of the general formula (I), and

n is from 2 to 100,000,

and wherein X(B)_m are non-covalently bonded; and

a pharmaceutically acceptable carrier.

29. (canceled)